

10/562,112

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NEWS	1		Web Page for STN Seminar Schedule - N. America
NEWS	2	APR 04	STN AnaVist, Version 1, to be discontinued
NEWS	3	APR 15	WPIDS, WPINDEX, and WPIX enhanced with new predefined hit display formats
NEWS	4	APR 28	EMBASE Controlled Term thesaurus enhanced
NEWS	5	APR 28	IMSRESEARCH reloaded with enhancements
NEWS	6	MAY 30	INPAFAMDB now available on STN for patent family searching
NEWS	7	MAY 30	DGENE, PCTGEN, and USGENE enhanced with new homology sequence search option
NEWS	8	JUN 06	EPFULL enhanced with 260,000 English abstracts
NEWS	9	JUN 06	KOREAPAT updated with 41,000 documents
NEWS	10	JUN 13	USPATFULL and USPAT2 updated with 11-character patent numbers for U.S. applications
NEWS	11	JUN 19	CAS REGISTRY includes selected substances from web-based collections
NEWS	12	JUN 25	CA/CAPplus and USPAT databases updated with IPC reclassification data
NEWS	13	JUN 30	AEROSPACE enhanced with more than 1 million U.S. patent records
NEWS	14	JUN 30	EMBASE, EMBAL, and LEMBASE updated with additional options to display authors and affiliated organizations
NEWS	15	JUN 30	STN on the Web enhanced with new STN AnaVist Assistant and BLAST plug-in
NEWS	16	JUN 30	STN AnaVist enhanced with database content from EPFULL
NEWS	17	JUL 28	CA/CAPplus patent coverage enhanced
NEWS	18	JUL 28	EPFULL enhanced with additional legal status information from the epline Register
NEWS	19	JUL 28	IFICDB, IFIPAT, and IFIUDB reloaded with enhancements
NEWS	20	JUL 28	STN Viewer performance improved
NEWS	21	AUG 01	INPADOCDB and INPAFAMDB coverage enhanced
NEWS	22	AUG 13	CA/CAPplus enhanced with printed Chemical Abstracts page images from 1967-1998
NEWS	23	AUG 15	CAOLD to be discontinued on December 31, 2008
NEWS	24	AUG 15	CAPplus currency for Korean patents enhanced
NEWS	25	AUG 25	CA/CAPplus, CASREACT, and IFI and USPAT databases enhanced for more flexible patent number searching
NEWS	26	AUG 27	CAS definition of basic patents expanded to ensure comprehensive access to substance and sequence information
NEWS	27	SEP 18	Support for STN Express, Versions 6.01 and earlier, to be discontinued
NEWS	28	SEP 25	CA/CAPplus current-awareness alert options enhanced

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to accommodate supplemental CAS indexing of
exemplified prophetic substances
NEWS 29 SEP 26 WPIDS, WPINDEX, and WPIX coverage of Chinese and
and Korean patents enhanced
NEWS 30 SEP 29 IFICLS enhanced with new super search field
NEWS 31 SEP 29 EMBASE and EMBAL enhanced with new search and
display fields

NEWS EXPRESS JUNE 27 08 CURRENT WINDOWS VERSION IS V8.3,
AND CURRENT DISCOVER FILE IS DATED 23 JUNE 2008.

NEWS HOURS STN Operating Hours Plus Help Desk Availability
NEWS LOGIN Welcome Banner and News Items
NEWS IPC8 For general information regarding STN implementation of IPC 8

Enter NEWS followed by the item number or name to see news on that
specific topic.

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\* \* \* \* \* STN Columbus \* \* \* \* \*

FILE 'HOME' ENTERED AT 14:18:11 ON 29 SEP 2008

=> file reg		
COST IN U.S. DOLLARS	SINCE FILE	TOTAL
	ENTRY	SESSION
FULL ESTIMATED COST	0.21	0.21

FILE 'REGISTRY' ENTERED AT 14:18:18 ON 29 SEP 2008  
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Property values tagged with IC are from the ZIC/VINITI data file provided by InfoChem.

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STRUCTURE FILE UPDATES: 26 SEP 2008 HIGHEST RN 1053621-88-7
DICTIONARY FILE UPDATES: 26 SEP 2008 HIGHEST RN 1053621-88-7
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New CAS Information Use Policies, enter HELP USAGETERMS for details.

TSCA INFORMATION NOW CURRENT THROUGH July 5, 2008.

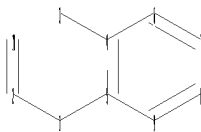
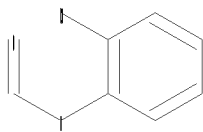
Please note that search-term pricing does apply when conducting SmartSELECT searches.

REGISTRY includes numerically searchable data for experimental and predicted properties as well as tags indicating availability of experimental property data in the original document. For information on property searching in REGISTRY, refer to:

<http://www.cas.org/support/stngen/stndoc/properties.html>

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=>
Uploading C:\Program Files\Stnexp\Queries\10562112b.str
```

10/562,112



```
chain nodes :
1  2  3 10
ring nodes :
4  5  6  7  8  9
chain bonds :
1-2  1-5  2-10  3-4
ring bonds :
4-5  4-6  5-9  6-7  7-8  8-9
exact/norm bonds :
1-2  1-5  2-10
exact bonds :
3-4
normalized bonds :
4-5  4-6  5-9  6-7  7-8  8-9
isolated ring systems :
containing 4 :
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Match level :

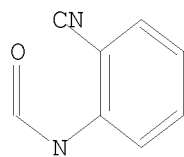
1:Atom 2:Atom 3:Atom 4:Atom 5:Atom 6:Atom 7:Atom 8:Atom 9:Atom 10:CLASS

L1 STRUCTURE UPLOADED

=> d l1

L1 HAS NO ANSWERS

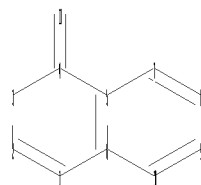
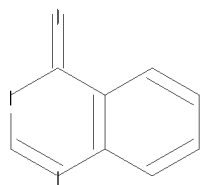
L1 STR



Structure attributes must be viewed using STN Express query preparation.

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Uploading C:\Program Files\Stnexp\Queries\10562112a.str



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chain nodes :
11
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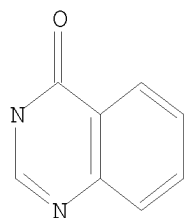
10/562,112

ring nodes :  
1 2 3 4 5 6 7 8 9 10  
chain bonds :  
4-11  
ring bonds :  
1-2 1-6 2-3 3-4 4-5 5-6 5-7 6-10 7-8 8-9 9-10  
exact/norm bonds :  
1-2 1-6 2-3 3-4 4-5 4-11  
normalized bonds :  
5-6 5-7 6-10 7-8 8-9 9-10

Match level :  
1:Atom 2:Atom 3:Atom 4:Atom 5:Atom 6:Atom 7:Atom 8:Atom 9:Atom 10:Atom  
11:CLASS

L2 STRUCTURE UPLOADED

=> d L2  
L2 HAS NO ANSWERS  
L2 STR



Structure attributes must be viewed using STN Express query preparation.

=> s L1 and L2  
SAMPLE SEARCH INITIATED 14:19:25 FILE 'REGISTRY'  
SAMPLE SCREEN SEARCH COMPLETED - 95 TO ITERATE

100.0% PROCESSED 95 ITERATIONS 8 ANSWERS  
SEARCH TIME: 00.00.01

FULL FILE PROJECTIONS: ONLINE \*\*COMPLETE\*\*  
BATCH \*\*COMPLETE\*\*  
PROJECTED ITERATIONS: 1316 TO 2484  
PROJECTED ANSWERS: 8 TO 329

L3 8 SEA SSS SAM L1 AND L2

=> s L1 full  
FULL SEARCH INITIATED 14:19:46 FILE 'REGISTRY'  
FULL SCREEN SEARCH COMPLETED - 79277 TO ITERATE

100.0% PROCESSED 79277 ITERATIONS 12487 ANSWERS  
SEARCH TIME: 00.00.01

L4 12487 SEA SSS FUL L1

10/562,112

=> s 12 full

FULL SEARCH INITIATED 14:19:53 FILE 'REGISTRY'

FULL SCREEN SEARCH COMPLETED - 1388707 TO ITERATE

72.0% PROCESSED 1000000 ITERATIONS

193351 ANSWERS

INCOMPLETE SEARCH (SYSTEM LIMIT EXCEEDED)

SEARCH TIME: 00.00.06

FULL FILE PROJECTIONS: ONLINE \*\*INCOMPLETE\*\*  
BATCH \*\*COMPLETE\*\*

PROJECTED ITERATIONS: 1388707 TO 1388707

PROJECTED ANSWERS: 266955 TO 270059

L5 193351 SEA SSS FUL L2

=> file caplus

COST IN U.S. DOLLARS

SINCE FILE

TOTAL

ENTRY

SESSION

FULL ESTIMATED COST

357.18

357.39

FILE 'CAPLUS' ENTERED AT 14:20:09 ON 29 SEP 2008

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FILE COVERS 1907 - 29 Sep 2008 VOL 149 ISS 14

FILE LAST UPDATED: 28 Sep 2008 (20080928/ED)

Caplus now includes complete International Patent Classification (IPC) reclassification data for the second quarter of 2008.

Effective October 17, 2005, revised CAS Information Use Policies apply. They are available for your review at:

<http://www.cas.org/legal/infopolicy.html>

=> d his

(FILE 'HOME' ENTERED AT 14:18:11 ON 29 SEP 2008)

FILE 'REGISTRY' ENTERED AT 14:18:18 ON 29 SEP 2008

L1 STRUCTURE UPLOADED

L2 STRUCTURE UPLOADED

L3 8 S L1 AND L2

L4 12487 S L1 FULL

L5 193351 S L2 FULL

FILE 'CAPLUS' ENTERED AT 14:20:09 ON 29 SEP 2008

10/562,112

=> s 14 and 15

1152 L4

2840 L5

L6 43 L4 AND L5

=> s 16 and (cyclization or cyclisation)

159671 CYCLIZATION

603 CYCLISATION

L7 5 L6 AND (CYCLIZATION OR CYCLISATION)

=> d 17 1- ibib abs hitstr

YOU HAVE REQUESTED DATA FROM 5 ANSWERS - CONTINUE? Y/(N):y

L7 ANSWER 1 OF 5 CAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 2007:565402 CAPLUS

DOCUMENT NUMBER: 147:9942

TITLE: Quinazolines useful as modulators of voltage gated ion channels and their preparation, pharmaceutical compositions and use in the treatment of diseases

INVENTOR(S): Wilson, Dean; Fanning, Lev T. D.; Krenitsky, Paul; Termin, Andreas; Joshi, Pramod; Sheth, Urvi

PATENT ASSIGNEE(S): Vertex Pharmaceuticals Incorporated, USA

SOURCE: PCT Int. Appl., 133pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2007058989	A2	20070524	WO 2006-US43895	20061113
WO 2007058989	A3	20070907		
W:	AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, GT, HN, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP, KR, KZ, LA, LC, LK, LR, LS, LT, LU, LV, LY, MA, MD, MG, MK, MN, MW, MX, MY, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RS, RU, SC, SD, SE, SG, SK, SL, SM, SV, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, ZA, ZM, ZW			
RW:	AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AP, EA, EP, OA			
AU 2006315675	A1	20070524	AU 2006-315675	20061113
CA 2628650	A1	20070524	CA 2006-2628650	20061113
EP 1957482	A2	20080820	EP 2006-837387	20061113
R:	AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LI, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR			
US 20080221137	A1	20080911	US 2006-598576	20061113
US 20080167305	A1	20080710	US 2008-50289	20080318
KR 2008073749	A	20080811	KR 2008-714446	20080613
PRIORITY APPLN. INFO.:			US 2005-737330P	P 20051114
			WO 2006-US43895	W 20061113

OTHER SOURCE(S): MARPAT 147:9942

GI

\* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT \*

AB The invention relates to compds. of formula I useful as inhibitors of voltage-gate sodium channels. Compds. of formula I where squiggle line indicated either (R)- or (S) stereochem.; R is H and (un)substituted C1-6 aliphatic; R3, R4 and R5 are independently Q-Rx; Q is bond and C1-6 alkylidene, etc.; Rx is halo, =NH and derivs., NO2, CN, OH and derivs., SH and derivs., etc.; and their pharmaceutically acceptable salts thereof, are claimed. The invention also provides pharmaceutically acceptable compns. comprising the compds. of the invention and methods of using the compns. in the treatment of various disorders. Example compound II was prepared by amidation of 2-fluoro-6-methoxybenzoic acid with 2-amino-4-methylbenzonitrile; the resulting N-(2-cyano-5-methylphenyl)-2-fluoro-6-methoxybenzamide underwent cyclization to give 2-(2-fluoro-6-methoxyphenyl)-7-methyl-3H-quinazolin-4-one, which underwent chlorination to give 4-chloro-2-(2-fluoro-6-methoxyphenyl)-7-methylquinazoline, which underwent demethylation to give 2-(4-chloro-7-methylquinazolin-2-yl)-3-fluorophenol, which underwent amination with (R)-benzyl pyrrolidin-3-ylcarbamate to give (R)-benzyl 1-[2-(2-fluoro-6-hydroxyphenyl)-7-methylquinazolin-4-yl]pyrrolidin-3-ylcarbamate, which underwent hydrogenation to give (R)-2-[4-(3-aminopyrrolidin-1-yl)-7-methylquinazolin-2-yl]-3-fluorophenol, which underwent acylation with 2-methoxyethyl chloroformate to give compound II•TFA. All the invention compds. were evaluated for their NaV inhibitory activity. From the assay, it was determined that compound II exhibited IC50 value between 1  $\mu$ M and 5  $\mu$ M.

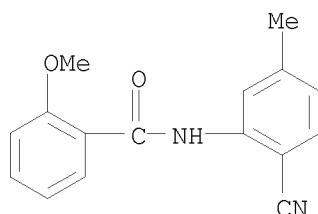
IT 757982-22-2P 757982-24-4P 879274-73-4P  
879274-77-8P 879274-78-9P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(intermediate; preparation of quinazoline compds. as inhibitors of voltage-gated sodium channels useful useful useful in treatment of various disorders)

RN 757982-22-2 CAPLUS

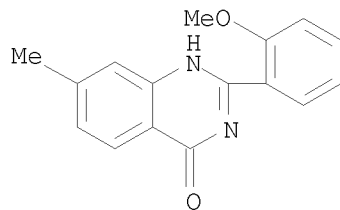
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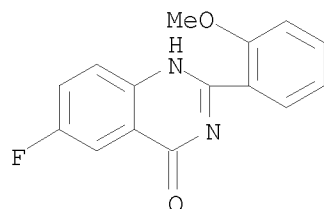
RN 757982-24-4 CAPLUS

CN 4(3H)-Quinazolinone, 2-(2-methoxyphenyl)-7-methyl- (CA INDEX NAME)

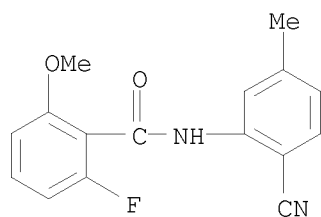
10/562,112



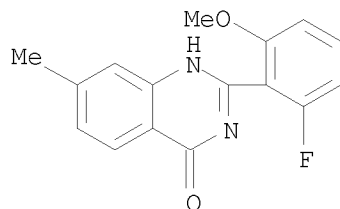
RN 879274-73-4 CAPLUS  
CN 4(3H)-Quinazolinone, 6-fluoro-2-(2-methoxyphenyl)- (CA INDEX NAME)



RN 879274-77-8 CAPLUS  
CN Benzamide, N-(2-cyano-5-methylphenyl)-2-fluoro-6-methoxy- (CA INDEX NAME)



RN 879274-78-9 CAPLUS  
CN 4(3H)-Quinazolinone, 2-(2-fluoro-6-methoxyphenyl)-7-methyl- (CA INDEX NAME)



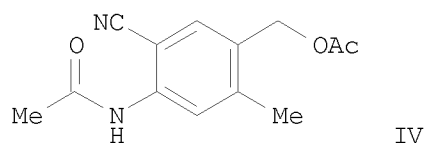
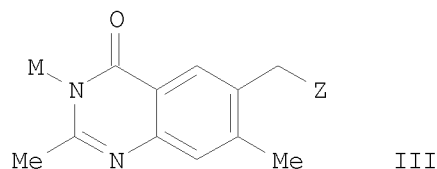
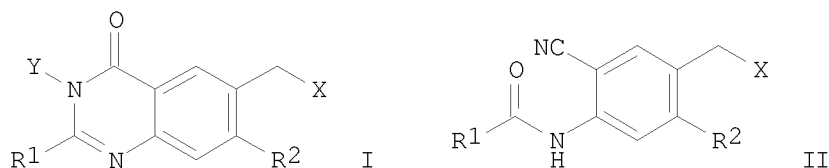
L7 ANSWER 2 OF 5 CAPLUS COPYRIGHT 2008 ACS on STN  
ACCESSION NUMBER: 2005:120895 CAPLUS  
DOCUMENT NUMBER: 142:198095  
TITLE: A preparation of quinazolin-4-ones via  
cyclization of N-(cyanophenyl)acetamide  
derivatives  
INVENTOR(S): Godfrey, Andrew Aydon  
PATENT ASSIGNEE(S): BTG International Limited, UK



10/562,112

SOURCE: PCT Int. Appl., 29 pp.  
CODEN: PIXXD2  
DOCUMENT TYPE: Patent  
LANGUAGE: English  
FAMILY ACC. NUM. COUNT: 1  
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
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WO 2005012260	A2	20050210	WO 2004-GB3141	20040720
WO 2005012260	A3	20050407		
W:	AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW			
RW:	BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG			
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EP 1675831	A2	20060705	EP 2004-743476	20040720
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IN 2006DN00057	A	20070824	IN 2006-DN57	20060103
MX 2006PA00883	A	20060419	MX 2006-PA883	20060123
PRIORITY APPLN. INFO.:			GB 2003-17631	A 20030728
			WO 2004-GB3141	W 20040720
OTHER SOURCE(S):	CASREACT 142:198095; MARPAT 142:198095			
GI				



AB The invention relates to a preparation of quinazolin-4-one derivs. of formula I [wherein: R1 and R2 are independently H or Me; Y is a protecting group; X is a leaving group], useful as intermediates in preparation of antitumor agents. The invention compds. I were prepared via cyclization of amides of formula II. For instance, quinazolin-4-one derivative III•HBr (Z = Br, M = H) was prepared via intramol. cyclization of N-(cyanophenyl)acetamide derivative IV, N-protection of the obtained quinazoline derivative III (Z = OAc; M = H) by chloromethyl pivalate, and subsequent bromination (yields: cyclization - 87%, bromination - 89%).

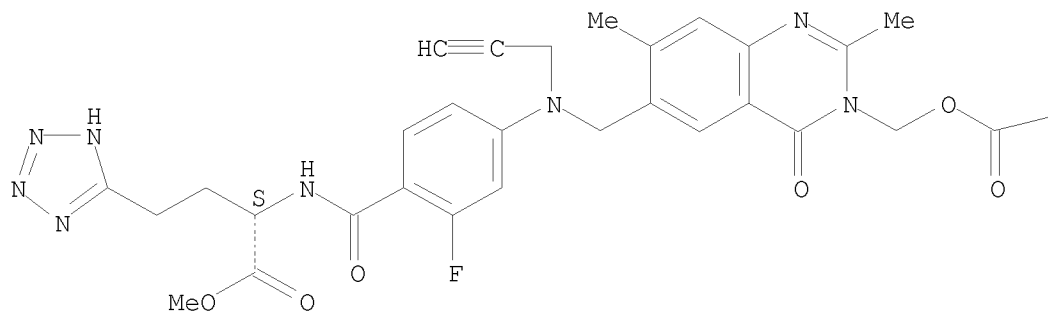
IT 247904-63-8P 838858-84-7P 838858-85-8P  
838858-86-9P 838858-87-0P

RL: IMF (Industrial manufacture); RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)  
(synthesis of quinazolin-4-one derivs. useful as intermediates in preparation of antitumor agents)

RN 247904-63-8 CAPLUS

CN 2H-Tetrazole-5-butanoic acid,  $\alpha$ -[[4-[[[3-[(2,2-dimethyl-1-oxopropoxy)methyl]-3,4-dihydro-2,7-dimethyl-4-oxo-6-quinazolinyl]methyl]-2-propyn-1-ylamino]-2-fluorobenzoyl]amino]-, methyl ester, ( $\alpha$ S)- (CA INDEX NAME)

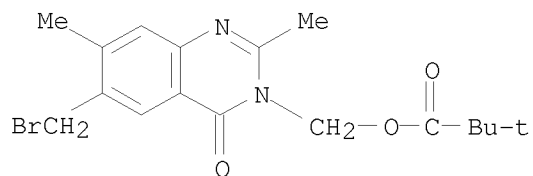
Absolute stereochemistry.



—Bu-t

RN 838858-84-7 CAPLUS

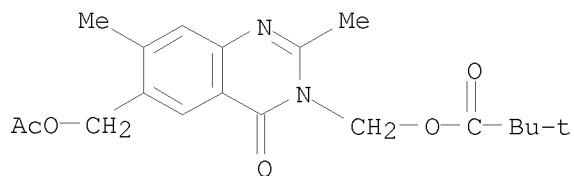
CN Propanoic acid, 2,2-dimethyl-, [6-(bromomethyl)-2,7-dimethyl-4-oxo-3(4H)-quinazolinyl]methyl ester, hydrobromide (1:1) (CA INDEX NAME)



● HBr

RN 838858-85-8 CAPLUS

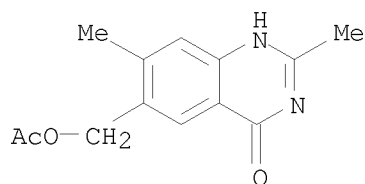
CN Propanoic acid, 2,2-dimethyl-, [6-[(acetyloxy)methyl]-2,7-dimethyl-4-oxo-3(4H)-quinazolinyl]methyl ester (CA INDEX NAME)



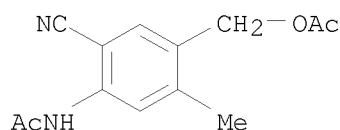
RN 838858-86-9 CAPLUS

CN 4(3H)-Quinazolinone, 6-[(acetyloxy)methyl]-2,7-dimethyl- (CA INDEX NAME)

10/562,112

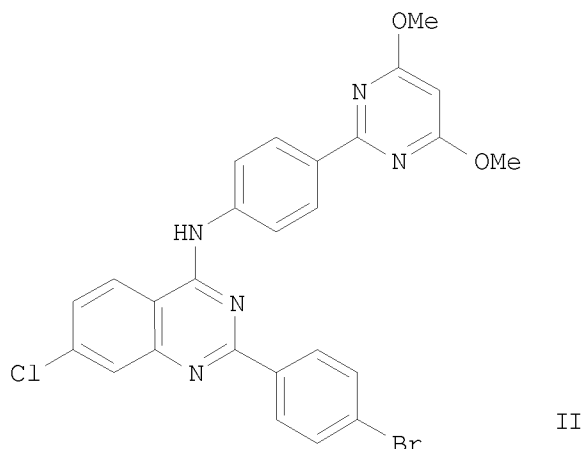
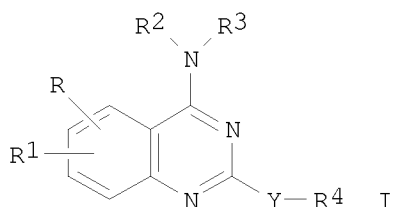


RN 838858-87-0 CAPLUS  
CN Acetamide, N-[4-[(acetyloxy)methyl]-2-cyano-5-methylphenyl]- (CA INDEX NAME)



L7 ANSWER 3 OF 5 CAPLUS COPYRIGHT 2008 ACS on STN  
ACCESSION NUMBER: 2004:310972 CAPLUS  
DOCUMENT NUMBER: 140:321379  
TITLE: Preparation of aminoquinazoline protein kinase B inhibitors as anticancer agents  
INVENTOR(S): Barnickel, Gerhard; Eggenweiler, Hans-Michael; Eiermann, Volker; Gericke, Rolf; Rautenberg, Wilfried; Sirrenberg, Christian; Scharm, Burkhard  
PATENT ASSIGNEE(S): Merck Patent G.m.b.H., Germany  
SOURCE: PCT Int. Appl., 89 pp.  
CODEN: PIXXD2  
DOCUMENT TYPE: Patent  
LANGUAGE: English  
FAMILY ACC. NUM. COUNT: 1  
PATENT INFORMATION:

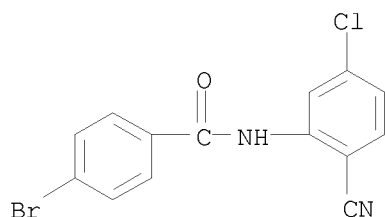
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2004030672	A1	20040415	WO 2003-EP9392	20030825
W:	AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW			
RW:	GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG			
AU 2003255482	A1	20040423	AU 2003-255482	20030825
PRIORITY APPLN. INFO.:			EP 2002-22151	A 20021002
			WO 2003-EP9392	W 20030825
OTHER SOURCE(S):	MARPAT 140:321379			
GI				



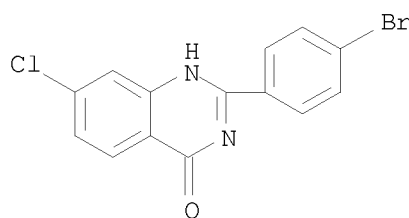
AB Title compds. I [wherein R and R1 = independently H, alkyl, OH, alkoxy, halo, N(R5)2, NO2, CN, CHO, alkanoyl, CON(R5)2, CO2R5, allyl, CH=CHCO2R5, CH=CHCON(R5)2, alkylsulfonyl, or (un)substituted Ph; R2 and R3 = independently H, (cyclo)alkyl, (un)substituted heterocyclyl(alkyl), alkoxy(alkyl), amino(alkyl), aryl(alkyl), etc.; or NR2R3 = (un)substituted heterocyclyl; R4 = aryl or substituted thiophenyl; R5 = H or alkyl; Y = a direct bond, (CH2)n, or NR5(CH2)m; m = 0-6; n = 1-6; and pharmaceutically tolerable salts and solvates thereof] were prepared as protein kinase B (PKB or Akt or RAC) inhibitors. For example, amidation of 2-amino-4-chlorobenzonitrile with 4-bromobenzoyl chloride in the presence of pyridine in THF afforded 4-bromo-N-(5-chloro-2-cyanophenyl)benzamide. Reduction using NaOH and perhydrite tablets in MeOH, followed by cyclization with NaOH in dioxane gave 2-(4-bromophenyl)-7-chloro-3H-quinazolin-4-one. Reaction with thionyl chloride in DMF provided 2-(5-bromophenyl)-4,7-dichloroquinazoline, which was coupled with 4-(4,6-dimethoxypyrimidin-2-yl)aniline in THF to give II. The latter inhibited PKB with IC50 of 0.0000066 M. Thus, I and their pharmaceutical compns. are useful for the treatment of hyperproliferative disorders, such as cancer, psoriasis, arthritis, inflammation, endometriosis, scarring, or benign prostatic hyperplasia (no data).

IT 405933-91-7P, 4-Bromo-N-(5-chloro-2-cyanophenyl)benzamide  
 405933-93-9P, 2-(4-Bromophenyl)-7-chloro-3H-quinazolin-4-one  
 RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)  
 (intermediate; preparation of aminoquinazoline PKB inhibitors as anticancer agents)  
 RN 405933-91-7 CAPLUS  
 CN Benzamide, 4-bromo-N-(5-chloro-2-cyanophenyl)- (CA INDEX NAME)

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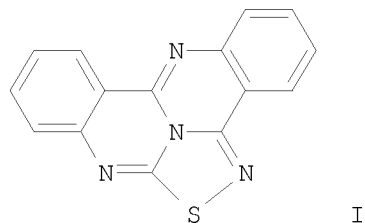


RN 405933-93-9 CAPLUS  
CN 4(3H)-Quinazolinone, 2-(4-bromophenyl)-7-chloro- (CA INDEX NAME)



REFERENCE COUNT: 6 THERE ARE 6 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L7 ANSWER 4 OF 5 CAPLUS COPYRIGHT 2008 ACS on STN  
ACCESSION NUMBER: 2001:574519 CAPLUS  
DOCUMENT NUMBER: 135:371701  
TITLE: Synthesis and X-ray characterization of a new polycondensed heterocycle obtained by a novel Mn(III)-mediated cascade reaction of 2-cyanophenyl isothiocyanate  
AUTHOR(S): Calestani, G.; Capella, L.; Leardini, R.; Minozzi, M.; Nanni, D.; Papa, R.; Zanardi, G.  
CORPORATE SOURCE: Dipartimento di Chimica Organica 'A. Mangini', Universita di Bologna, Bologna, I-40136, Italy  
SOURCE: Tetrahedron (2001), 57(33), 7221-7233  
CODEN: TETRAB; ISSN: 0040-4020  
PUBLISHER: Elsevier Science Ltd.  
DOCUMENT TYPE: Journal  
LANGUAGE: English  
OTHER SOURCE(S): CASREACT 135:371701  
GI



AB 2-Cyanophenyl isothiocyanate reacted with Mn(III) acetate in acetic acid

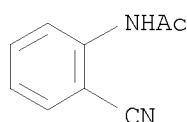
or acetonitrile to give fair yields of a new polycondensed heterocycle (I), arising from the joining together of two mols. of the starting isothiocyanate with loss of a CS moiety. The yields were close to 90% when the reaction was carried out in the presence of di-Et malonate. I was unambiguously identified by X-ray crystallog. Under the same conditions, 2-(methoxycarbonyl)phenyl isothiocyanate gave a quinazolinimine derivative instead, which is likely to arise from cyclization of an intermediate N,N'-diarylthiourea. The mechanism of formation of the former compound probably involves formation of a N,N'-bis(2-cyanophenyl)thiourea, followed by rearrangement and radical tandem ring closure of the corresponding cyclic imine derivative. This hypothesis is also supported by semiempirical calcns.

IT 25116-00-1P, N-(2-Cyanophenyl)acetamide 309940-88-3P  
374567-55-2P

RL: SPN (Synthetic preparation); PREP (Preparation)  
(preparation and x-ray characterization of new polycondensed heterocycle obtained by novel Mn(III)-mediated cascade reaction of 2-cyanophenyl isothiocyanate)

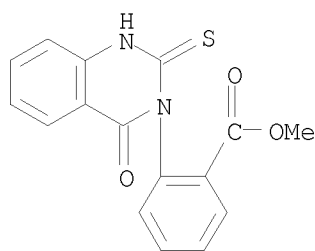
RN 25116-00-1 CAPLUS

CN Acetamide, N-(2-cyanophenyl)- (CA INDEX NAME)



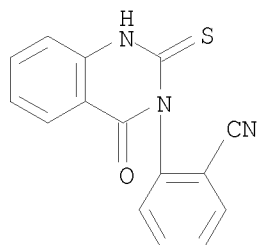
RN 309940-88-3 CAPLUS

CN Benzoic acid, 2-(1,4-dihydro-4-oxo-2-thioxo-3(2H)-quinazolinyl)-, methyl ester (CA INDEX NAME)



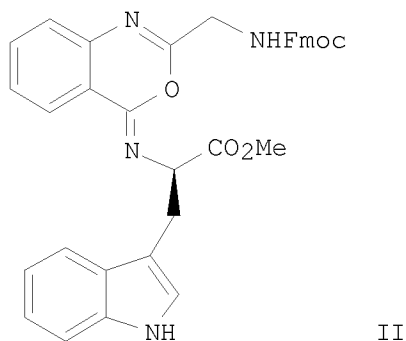
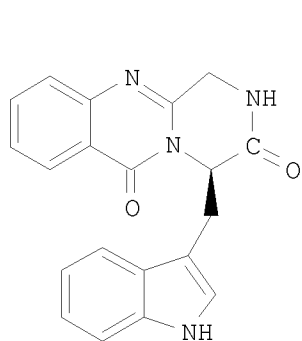
RN 374567-55-2 CAPLUS

CN Benzonitrile, 2-(1,4-dihydro-4-oxo-2-thioxo-3(2H)-quinazolinyl)- (CA INDEX NAME)



REFERENCE COUNT: 64 THERE ARE 64 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L7 ANSWER 5 OF 5 CAPLUS COPYRIGHT 2008 ACS on STN  
 ACCESSION NUMBER: 2000:68948 CAPLUS  
 DOCUMENT NUMBER: 132:251284  
 TITLE: Total Synthesis of the Fumiquinazoline Alkaloids:  
 Solution-Phase Studies  
 AUTHOR(S): Wang, Haishan; Ganesan, A.  
 CORPORATE SOURCE: Institute of Molecular and Cell Biology, National  
 University of Singapore, Singapore, 117609, Singapore  
 SOURCE: Journal of Organic Chemistry (2000), 65(4), 1022-1030  
 CODEN: JOCEAH; ISSN: 0022-3263  
 PUBLISHER: American Chemical Society  
 DOCUMENT TYPE: Journal  
 LANGUAGE: English  
 OTHER SOURCE(S): CASREACT 132:251284  
 GI



AB Biomimetic total syntheses of glyantrypine (I), fumiquinazoline F, fumiquinazoline G, and fiscalin B were achieved in four steps from tryptophan Me ester. In the key step, the anthranilamide residue in a linear tripeptide is dehydrated to a benzoxazine, e.g. II, by reaction with triphenylphosphine, iodine, and a tertiary amine. The benzoxazines subsequently undergo rearrangement to the natural products via an amidine intermediate. This dehydrative oxazine to quinazoline route is applicable to a broad range of N-acylanthranilamides, including sterically hindered cases.

IT 262590-30-7P 262590-45-4P  
 RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)  
 (total synthesis of fumiquinazoline alkaloids, solution-phase studies)

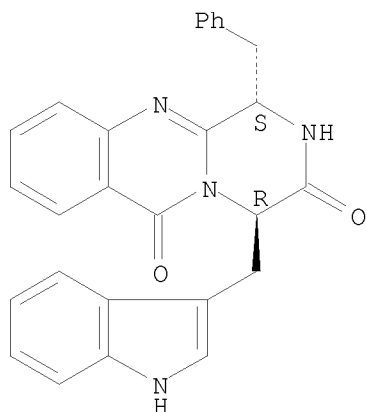
RN 262590-30-7 CAPLUS

CN 2H-Pyrazino[2,1-b]quinazoline-3,6(1H,4H)-dione, 4-(1H-indol-3-ylmethyl)-1-(phenylmethyl)-, (1S,4R)- (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).



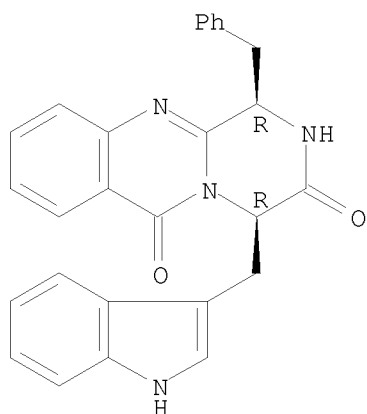
10/562,112



RN 262590-45-4 CAPLUS

CN 2H-Pyrazino[2,1-b]quinazoline-3,6(1H,4H)-dione, 4-(1H-indol-3-ylmethyl)-1-(phenylmethyl)-, (1R,4R)- (CA INDEX NAME)

Absolute stereochemistry.

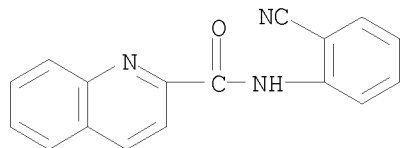


IT 262590-34-1P 262590-43-2P

RL: SPN (Synthetic preparation); PREP (Preparation)  
(total synthesis of fumiquinazoline alkaloids, solution-phase studies)

RN 262590-34-1 CAPLUS

CN 2-Quinolinecarboxamide, N-(2-cyanophenyl)- (CA INDEX NAME)

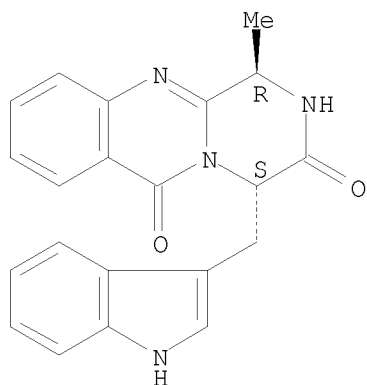


RN 262590-43-2 CAPLUS

CN 2H-Pyrazino[2,1-b]quinazoline-3,6(1H,4H)-dione, 4-(1H-indol-3-ylmethyl)-1-methyl-, (1R,4S)- (CA INDEX NAME)

Absolute stereochemistry. Rotation (+).

10/562,112



REFERENCE COUNT: 20 THERE ARE 20 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

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(FILE 'HOME' ENTERED AT 14:18:11 ON 29 SEP 2008)

FILE 'REGISTRY' ENTERED AT 14:18:18 ON 29 SEP 2008

L1 STRUCTURE UPLOADED  
L2 STRUCTURE UPLOADED  
L3 8 S L1 AND L2  
L4 12487 S L1 FULL  
L5 193351 S L2 FULL

FILE 'CAPLUS' ENTERED AT 14:20:09 ON 29 SEP 2008

L6 43 S L4 AND L5  
L7 5 S L6 AND (CYCLIZATION OR CYCLISATION)

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ENTRY	SESSION

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